JP,06-092935,A(1994)[全項目]

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Notes:

1. Untranslatable words are replaced with asterisks (\*\*\*\*).

2. Texts in the figures are not translated and shown as it is.

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### FULL CONTENTS

#### [Claim(s)]

[Claim 1] General formula (1)

Among [type, X show CH, N, or C-halogen atom, and: Y A hydrogen atom, C1-C5 An alkyl group and C2-C5 An alkenyl group and C2-C5 Alkynyl group. An alkoxy group, a halogen atom, a cyano group, a nitro group, and C1-C5 HAROARUKIRU machine. C1-C5 A haloalkoxy machine and C2-C5 A HAROARUKENIRU machine or C2-C5 a HAROARUKINIRU machine is shown --;R1 C1-C5 An alkyl group and C1-C5 A HAROARUKIRU machine and C1-C5 An alkoxy group or C1-C5 A haloalkoxy machine is shown and; R2, R3 and R4 It is a hydrogen atom and C1-C5 independently, respectively. Alkyl group, C2-C5 An alkenyl group and C2-C5 An alkynyl group, a halogen atom, A cyano group, a formyl group, a carboxyl group, an alkoxy carbonyl group, A haloalkoxy carbonyl group, an alkyl carbonyl group, a HAROARU kill carbonyl group, A nitro group, a cyanate group, a thio cyanate group, and C1-C5 A HAROARUKIRU machine and C1-C5 An alkoxy group and C1-C5 A haloalkoxy machine and C2-C5 A HAROARUKENIRU machine or -S(O) kR5 (k showing 0, 1, or 2 here) R5 C1-C5 non-replaced Alkyl or C1-C5 which is the same or is replaced by one or more different halogen atoms alkyl -- being shown -- being shown -- m -- 0 and 1 or -- 2 is shown -- n -- 0, 1, 2, and 3 Or compound expressed with] which shows 4. [Claim 2 ] In a general formula (1), X shows N or C-halogen atom, and:Y is C1-C5, Alkyl group, C1-C5 A HAROARUKIRU machine, a halogen atom, a cyano group, a nitro group, or C1-C5 a haloalkoxy

alkoxy group or C1-C5 a haloalkoxy machine is shown --;R2 and R3 And R4 It is a hydrogen atom and C1-C5 independently, respectively. An alkyl group and C2-C5 Alkenyl group, C2-C5 An alkynyl group, a halogen atom, a cyano group, a carboxy group, An alkoxy carboxyl group, a haloalkoxy carboxyl group, a haloalkoxy carboxyl group, an alkyl carbonyl group, A HAROARU kill carbonyl group, a nitro group, and C1-C5 HAROARUKHU machine; C1-C5 An alkoxy group and C1-C5 A haloalkoxy machine and C2-C5 A HAROARUKENIRU machine is shown,;m shows 0, 1, or 2, and;n is 0, 1, 2, and 3. Or compound according to claim 1 expressed with] which shows 4.

[Formula 2]

( R<sup>3</sup> ) n R<sup>2</sup>

R<sup>4</sup>

It is the compound expressed with [X in a formula, Y, R2-R4, and n have the same meaning as what Claim 1 defined].

[Claim 4] General formula (3) [Formula 3]

(2)

It is the compound expressed with [the inside R1 of a formula, R2, R3 n, and m have the same meaning as what Claim 1 defined].

[Claim 5] The manufacture method of the compound of said general formula (1) characterized by making the compound expressed with a general formula (2) react under existence of a base as occasion demands in a halogenation sulfinyl R1 S-halogen atom (for the inside of a formula and R1 to be alkyl or HAROARUKIRU), and an organic solvent.

[Claim 6] General formula (4)

(Formula 4)

JP.06-092935,A(1994) [全項目]

It is a halogenation sulfinyl R1 S-halogen atom (among a formula) about the compound expressed with (the inside R2 of a formula, R3, and n have the same meaning as the thing which Claim 1 defined]. R1 -- alkyl or HAROARUKIRU -- it is -- making the intermediate which is made to react under existence of a base as occasion demands in an organic solvent, and is expressed with a general formula (3) generate -- subsequently -- the bottom of base existence -- a general formula (5)

It is the manufacture method of the compound of said general formula (1) characterized by making it react with the compound expressed with [in the inside Z of a formula express halogen and X, Y, and R1 have the same meaning as what Claim 1 defined].

[Claim 7] The insect-killing constituent characterized by containing the new 1-aryl and 1-pyridyl indole derivatives which are expressed with a general formula (1) according to claim 1 as an active principle.

# [Detailed Description of the Invention]

Industrial Application] This invention can relate to the intermediate for manufacture of the new molecular entity belonging to Indore, and these compounds, and the method for manufacturing these compounds and its middle further, and can use this derivative as an insecticide in a paddy field, Hataji, an orchard, a forest, or an environmental sanitation secue. Moreover, this derivative can be used as a parasitic controlling agent, in order to protect a man or an animal from parasitic hindrance.

#### [0002]

[Description of the Prior Art] N displacement Indore which have agricultural-chemicals activity is the United States patent application 3290332nd. Although the number and the Japanese JP,55-151505,A number are described, aryl and a pyridyl machine are not contained as a substituent on a nitrogen atom in this case, and a use is not an insecticide but a germicide. [0003]

Problem(s) to be Solved by the Invention] It has the character which does not do damage to higher insect-killing activity and a higher useful insect, and environment in the pest control scene in a paddy field, Hataji, an orchard, a forest, or an environmental sanitation scene, and the low toxicity compound is demanded. Moreover, the noxious insect which shows resistance to a pyrethroid agent, well-known

insecticide, for example, organophosphorus-compounds Cava mate agent, etc. increases, prevention of the breeding and extermination has become difficult, and these days requires drugs new type. This invention does not do damage to a useful insect and environment substantially, but aims at offering the insect-killing constituent which makes an active principle the intermediate compound for manufacturing the new insecticidal compound of a type which also shows a prominent effect to a drug resistance noxious insect by low toxicity, and them, and it.

[0004]
[Means for Solving the Problem] In order to attain the above-mentioned purpose, as a result of inquiring wholeheartedly, it is a general formula (1).
[0005]

[Formula 6]

group and C2-C5 An alkynyl group, an alkoxy group, Halogen, cyano \*\* nitroglycerine, and C1-C5 HAROARUKIRU and C1-C5 Haloalkoxy, C2-C5 HAROARUKENIRU or C2-C5 HAROARUKENIRU is shown -:R1 alkyl, HAROARUKIRU, and alkoxy \*\*\*\*\* show haloalkoxy -:R2, R3, and R4 l1 is hydrogen and C1-C5 independently, respectively. Alkyl and C2-C5 Alkenyl group, C2-C5 An alkynyl group, halogen, cyano \*\* formyl, carboxyl, Alkoxy carbonyl, haloalkoxy carbonyl, alkyl carbonyl, HAROARU kill carbonyl, nitroglycerine, SHIANATO, CHIOSHI annatto, and C1-C5 HAROARUKIRU and C1-C5 Alkoxy \*\*C1-C5 Haloalkoxy and C2-C5 HAROARUKIRU and C1-C5 Kloxy \*\*C1-C5 Haloalkoxy and C2-C5 HAROARUKIRU ard C1-C5 carbonyl, alkyl cord ifferent halogen atoms alkyl - being shown - being shown -;m - 0 1 or 2 was shown, and;n finds out having the insect-killing activity excellent in the new N displacement indole derivatives expressed with] which shows 0, 1, 2, 3, or 4, and came to complete this research. [0006] The compound of the general formula (1) of this invention can be manufactured by the following

X in [type shows CH, N, or C-halogen atom, and; Y is hydrogen and C1-C5. Alkyl, C2-C5 An alkenyl

Method 1 general formula (3)

methods. Method 1 [0007]

[0007] [Formula 7] JP.06-092935.A(1994) [全項目]

$$R^3$$
)  $_n$   $\stackrel{N}{\longrightarrow}$   $R^2$   $R^3$ 

R1 in [type-R3, and m and n have the same meaning as the above. The indole derivatives and the general formula (5) which are expressed with] [0008]

[Formula 8]

In the inside Z of [type, halogen is shown and X, Y, and R1 have the same meaning as the account of this Nakamae. ] By reacting a compound under base existence, it is a general formula (2). [0009] [Formula 9]

X in [type, Y, R2-R4, and n have the same meaning as the account of this Nakamae. The intermediate of] is prepared and it is prepared by subsequently to the bottom of existence of a base like the third class amine making it react as occasion demands in a halogenation sulfinyl R1 S-halogen atom (for the inside of a formula and R1 to be alkyl or HAROARUKIRU), and an organic solvent. [0010] Method 2 general formula (4) [0011]

[Formula 10]

The inside R2 of [type, R3, and n have the same meaning as this above. The indole derivatives and the halogenation sulfinyl R1 S-halogen atom (among a formula) which are expressed with] R1 alkyl or HAROARUKIUCI — it is — by making it react under existence of a base like the third class amine as occasion demands in an organic solvent, the intermediate expressed with a general formula (3) is prepared, and it is prepared by subsequently reacting the compound of a general formula (5) under base existence.

[0012] Although the reaction can use the compound of a general formula (4), the compound of a general formula (5), or the compound of a general formula (3) and the compound of a general formula (5) at an arbitrary rate, it is preferably used mostly by an equimolar ratio in an equimolar ratio. As a base, organic bases, such as inorganic bases, such as sodium hydroxide, potassium hydroxide, and sodium hydroxide, triethylamine, and pyridine, can be used.

[0013] When organic bases, such as triethylamine and pyridine, are used, it can use for an overlarge and can also be used as a solvent. Although the quantity of a base can be used for the amount of theories, or an excess, a desirable quantity 1.0 to 5.0 times are superfluous by that cause as for the amount of theories is used.

[0014] As a solvent, to a reactant, aliphatic hydrocarbon, such as an inert solvent, for example, hexane, and heptane, Aromatic hydrocarbon, such as benzene, toluene, and xylene, chloroform, Nitrile, such as amide, such as ether, such as halogenated hydrocarbon, such as dichloromethane and chlorobenzene, diethylether, and tetrahydrofuran, and N, N dimethylformamide, acetonitrile, and propionitrile, is mentioned. These mixed solvents and the mixed solvent of these and water can also be used.

[0015] Although a reaction can be performed in the temperature range from -20 degree C to the boiling point of a solvent, it is the range of 0 degree C - 80 degrees C preferably, the reaction mixture at the time of manufacture of the compound of a general formula (1), (2), and (3) is enough – time churning is carried out and an object is recovered by process, such as the usual after-treatment, for example, extraction, a flush, desiccation, and solvent distilling off. Although it is enough just to carry out easy solvent washing in many cases, if there is necessity, recrystallization or a column chromatography can refine.

[0016] [with the method which mixes an agricultural-chemicals adjuvant in order to remain as it is or to make an effect promotion or stability according to the purpose of use, and is generally performed in the agricultural-chemicals manufacturing field ] when using the compound of the general formula (1) of this invention It can be used for the constituent of arbitrary formulation, such as haze agents, such as dust, subtle granules, a granule, a water-dispersible powder, a floor bull agent, an emulsion, a microcapsule agent, oils, acrosol, heating fumigants (a mosquio coil, electric \*\*\*\*, etc.), and FOKKINGU, a non-heating fumigant, and poison bait, carrying out.

[0017] On the occasion of actual use, these various pharmaceutical preparation can be used as they are directly, or can be diluted and used for the concentration of a request with water. The adjuvant, for example, a spreader, of a carrier (diluent) and others, an emulsifier, a \*\* exhibition agent, a dispersant, an anchorage, disintegrator, etc. can be raised as an agricultural-chemicals adjuvant said here. As a liquid carrier, aromatic hydrocarbon, such as toluene and xylene, butanol, Ketone, such as alcohols, such as octanol and a glycol, and acetone, Petroleum fractions, water, etc., such as kerosene, such as sulfoxide, such as amide, such as dimethylformamide, and dimethyl sulfoxide, methylnaphthalene, eveloblexanone, animal and vegetable oils, fatry acid, and fatty acid ester, and eas oil, are raised.

etc. are raised as disintegrator.

[0018] As an individual carrier, Clay, kaolin, a tale, diatomaceous earth, silica, calcium carbonate, montmorillonite, bentonite, feldspar, quartz, alumina, saw dust, etc. are raised.

[0019] As an emulsifier or a dispersant, it is usually used by the surface active agent, and Moreover, for example, higher alcohol sodium sulfate, Anion system surface active agent, such as steary! trimethylammonium chloride, polyoxyethylene alkyl FUENIRU ether, and lauryl betaine, a cation system surface active agent, an on-ion system surface active agent, and a zwitter ion system surface active agent can raise, and it is \*\*\*\*\*. Moreover, as a spreader, polyoxyethylene NONIRUFU enyl ether, polyoxyethylene lauryl ether, etc. are raised, as a \*\* exhibition agent — polyoxyethylene NONIRUFU enyl ether, active agent, and it is \*\*\*\*\*. Moreover as a spreader, polyoxyethylene NONIRUFU enyl ether, active agent, and it is \*\*\*\*\*. A surface as a \*\*\* exhibition agent — polyoxyethylene loop of the polyoxyethylene lo

[0020] As for these this invention compound, it is still more possible to also make the more excellent insecticidal activity discover by two or more sorts of combination use. Moreover, other physiological active substances, for example, allethrin, lid RUSURIN, permethrin, Pyrethroid and various isomers, such as a deca scalpel phosphorus, FUEN valerate, and cyclo pro thorin, Organic phosphorus system insecticides, such as pyrethrum extractives, DDVP, FUENITOROCHION, diazinon, and temephos. The Cava mate system insecticides, such as NAC, MTMC, BPMC, and PIRIMA, By mixing with another insecticide, miticide or germicide, nematicide, herbicide, plants growth regulator, fertilizer, BT agent, and worm-hormone agent, other agricultural chemicals, etc., the multiple-purpose constituent which was further excellent in effect can also be built, and the \*\*\*\* effect can also be expected [0021] Furthermore, this effect can also be increased several times by adding what is known, for example as synergists for pyrethroid, such as piperonyl butoxide, a SARUHOKI side, and Saff Loki San, Although this invention compound is extremely stable to light, heat, oxidation, etc., if needed Moreover, an antioxidant Or the constituent where the effect was stabilized more can be obtained by adding suitably arylamines, such as an ultraviolet ray absorbent, for example, phenols like BHTBHA, and alphanaphthylamine, or benzophenone system compounds as a stabilizer. Although the active principle content in this invention constituent changes with formulation, and the method and other conditions to be used and is good only with an active principle compound depending on the case, it is usually 0.5 to 80% (weight) of range preferably 0.2 to 95% (weight). [0022] Although the amount of the constituent used of this invention changes according to the

arts, the agent for forest \*\*\*\*\*\*, and the agent for range noxious insects, 15-10-30\tilde{0}g 200g are usually preferably used in the amount of active principles per 10a, and the agent for health \*\*\*\*\*\*\* is usually 1m2. 5-100mg of 2-200mg is preferably used in the amount of hit active principles. For example, as for 15-120g, and a granule, 40-the range of dust of 30-240g and an emulsion, and a water-dispersible powder are [in an active principle ] 250g in an active principle per 10a, at an active principle. However, in being special, it is able for the bottom to turn to cross these ranges, and, sometimes, there is even necessity.

[0023] Morcover, when using the compound of the general formula (1) of this invention for prevention

conditions of dosage forms, the method of using it, a stage, and others As for the agent for plantation

increasiny.

[10023] Moreover, when using the compound of the general formula (1) of this invention for prevention of the breeding and extermination of a parasite, it is attached to weight, and it is 0.1-200mg/kg. It can use with a corresponding dose. The exact dose to the status that it was given can be determined daily, and it depends for it on the status of the Homo sapiens concerning various factors, for example, the substance used a parasitic kind, the combination used, and a parasite or an animal.

(Promalac-tis inonisema)

next, it is not limited to these.

[0033]

[0024] The concrete noxious insect name which can apply the insect-killing constituent of this invention is mentioned. From Hemiptera [Hemiptera], for example, Nephotettix (Nepho-tettix cincticeps), Sogatella furcifera [Sogatellafurcifera], a rice brown planthopper (Nilaparvala luge-ns), A small brown planthopper (Laodelphax striatellus), Riptortus clavatus (Riptortus clavatus), a MINAMIAO bug (Nezaraviridula), pear Tingidae (Stephanit-is nashi), [0025] An ONSHITSU white fly (Trialeurodes vaporariorum), An woolly aphis (Aphis gossypii), a green peach aphid (Myzus persicae), A YANOKANE scale insect (Unasqis y-anonensis), Phyllonorycter ringoniclla (Phyllonorycter ringonicella (Phyllonorycter ringonicella

Adoxophyes (Adoxophyes orana), a soybean pod borer (Leguminivora glycinivorella), Cnaphalocrocis medinalis (Cnaphalocrocis medinalis), Chilo (Chil-o supperessalis), Ostrinia furnacalis (Ostrinia furnacalis), a cabbage armyworin (Mamestra brassicae), Leucania (Pseudaletia separata), [0026] A tobacco cutworm (spodoptera litura), rice TSUTOMUSHI (P-arnara guttata), A cabbage butterfly (Pieris rapaccrucivora), HERIOCHISU (Heliothis spp.), YAGA (Agrotis spp.), From beetles [Coleoptera], for example, a DOUGANE buoy buoy (Anomala cuprea), A Japanese beetle (Popil-lia japonica), a rice elephant beetle (Echinocnemus s-oqameus), a rice Ms. elephant beetle (Lissorhoptrus ory-zophilus), [0027] Rice DOROOIMUSHI (Oulema oryzae), a HIMEMARU carpet beetle (Anthrenus verbasic), A cadelle (Tenebroides mauritanicus), A rice weevil (Sitophilus zeamis), NIJUUYAHOSHI ten tow (Henosepilachna vi-gintioctopunctata), Callosobruchus (Callosob-ruchus chinensis), Monochamus alternatus (Monoch-amus alternatus), [0028] Aulacophora femoralis (Aulacophora femoralis), rep chino TARUSA DESEMURINEATA (Leptiontarsa decemlineta), FEDON and substance -- as rare RIAE (Phaedon cochlearias), JIAPUROCHIKA (Diabrotica spp.), and Hymenoptera [Hymenoptera] For example, KABURAHABACHI (Athalia rosaeiaponensis), As RURICHUURENJIHABACHI (Argesimilis) Diptera [Diptera], for example, Culex fatigans (Culex pipiens fatigans), Aedes aegypti (Aedes aegypti), a DAIZUSAYA gall midge (Asphondylls sp.), a seed-corn fly (Hylemyaplatura), [0029] A muscid (Musca domestica vicina), A melon fruit fly (Dacus cucurcitae), Agromyza oryzae (Agromyzaoryzae), As Kyn Valle (Lucllia spp.) Siphonaptera [Aphan-iptera], the Pulex irritans (Pulex irritans). As a KEOBUSU rat flea (Xenopsylla cheopis), a dog flea (Cteno-cephalides canis), and Thysanoptera [Thysanoptera] [0030] Scirtothrips dorsalis (Scirtothrips dorsalls), Welsh onion thrip (Thrips tabaci) MINAMIKIIRO thrip (Thrips palmi), They are a body louse (Pediculs humanus corporis) and the crab (P-thirus pubis) as a rice thrip (Baliothrips b-iformis) and Siphunculata [Anoplura], for example, [0031] As Psocoptera [Psocoptera], for example KOCHATATE (T-rogium pulsatorium), HIRATACHATATE (Liposce-lis bostrychophilus), As Orthoptera [Orthoptera], a mole cricket (Gryllotalpaafricana), A locust (Locusta migratoria), Oxya japonica (Oxya ye-zoensis), Blattella germanica (Blattella germanl-ca) Periplaneta fuliginosa (Periplaneta fuliginosa). [0032] Moreover, although the illness by the most important parasite and the most important it which

Illness Name student Things Bilharziosis and Schistosoma mansoniSchistosomiasis S.JaponicumS. Haematobium (A schistosoma, fluke)
Ancyclostoma diuode- nale (a bookworm nematode)

Ancyclostomiasis Necator americanus, Ancyclostoma duode- nale (a hookworm, nematode) Ascariasis Ascaris lumbricold- es (Ascaris lumbricoides, nematode)

trouble the Homo sapiens who can apply the insect-killing constituent of this invention is summarized

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[0034]

Filariasis or Wuchereria bancrof-elephantiasisti Brugia malayi (nematode)

Onchoceriasis -- or -- Onchocerrca volvul-river blinduess us (nematode) Loiasis Loa loa (an eye filaria, nematode)

[0035]

[The example of an example] Although a work example is raised to below and this invention is explained to it, this inventions are not these things limited to seeing.

[0036] Synthesis of synthetic example 11-(3-chloro 5-trifluoro methylpyridine 2-1RU) Indore; Indore 2.00g is dissolved in dimethylformamide 20ml. After adding 0.69g of sodium hydride (60%) and agitating under ice-cooling and a nitrogen air current for 30 minutes, the 2 and 3-dichloro 5- (trifluoromethyl) pyridine 3.70g which dissolved in 4ml of dimethylformamide was dropped under ice-cooling. It heated to 60 degrees C after the end of dropping, and agitated at the temperature for 2.5 hours.

[10337] After returning to a room temperature, it poured underwater, and ethyl acetate extracted. The obtained ethyl acetate layer was washed, and it dried on sulfuric anhydride magnesium, and condensed under the reduced pressure. Column chromatography (a silica gel, eluate:n-hexane / ethyl acetate = 30/1) refined the residue, and 4.85g (95% of yield) of target 1-(3-chloro 5-trifluoro methylpyridine 2-1RU) Indore was obtained, mp 52 to 53 degree C [0038] Synthesis of synthetic example 2.1-6-hloro 5-trifluoro methylpyridine 2-1RU)-3-(dichloro fluoro methylthio) Indore; 1-(3-chloro 5-trifluoro methylpyridine 2-1RU) indore 1.0-(dichloro fluoro methylthio) Indore; 1-(3-chloro 5-trifluoro methylpyridine 2-1RU) sides idssolved in 10ml of methylene chlorides, pyridine 0.26ml -- it adds. Fluoro carbon 21 sulfenyl chloride 1.20g was dropped at the solution under ice-cooling, and it agitated at the room temperature for 4 hours.

[00391] It distilled off under the reduced pressure of a solvent. column chromatography (a silica gel.

eluate n-hexane) refined the residue, and 1.38g (95% of yield) of target 1-(3-chloro 5-trifluoro methylpyridine 2-1RU)-3-(dichloro fluoro methylphio) Indore was obtained. mp 101 to 104 degree C (0040) Synthesis of synthetic example 33-(dichloro fluoro methylthio)-5-fluoro Indore; 5-fluoro Indore 1.00g is dissolved in a 10ml methylene chloride. pyridine 0.7ml - after \*\*\*\*, the fluoro carbon 21 usifenyl chloride 1.5g which dissolved in the 10ml methylene chloride under ice-cooling was dropped, and it reacted at the room temperature for 2 hours. 100ml of ethyl acetate and n hexane 100ml was added, and the hydrochloric acid aqueous solution washed the organic layer once with water to twice and a pan 10%.

[0041] After drying the obtained organic layer with sulfuric anhydride magnesium, it distilled off under the reduced pressure of a solvent and 1.8g (91% of yield) of target 3-(dichloro fluoro methylthio)-5fluoro Indore was obtained.

[0042] Synthesis of synthetic example 41-(3-chloro 5-trifluoro methylpridine 2-IRU)-3-(dichloro huoro methylthio)-5-fluoro Indore; 3-(dichloro fluoro methylthio)-5-fluoro Indore 0.6g is dissolved in dimethylfornamide 15ml. After adding 0.1g of sodium hydride (60%) and agitating under ice-eooling and a nitrogen air current for 30 minutes, the 2 and 3-dichloro 5-(trifluoromethyl) pyridine 0.5g which dissolved in 2nd of dimethylfornamide was dropped under ice-eooling.

assorved in 2mil of unimensystomaniace was oropoguouner (see-cooling, [0043] It heated to 100 degrees C after the end of dropping, and agitated at the temperature for 3 hours. After returning to a room temperature, it poured underwater and 100ml of ethyl acetate and an n hexane 100ml mixed solvent extracted. Hydrochloric acid and water washed the obtained organic layer 10%, and it dried on sulfuric anhytidie magnesium, and condensed under the reduced pressure, a residue –

column chromatography (a silica gel --) Eluate n-hexane / ethyl acetate = it refined by 30/1 and 0.6g (60% of yield) of target 1-(3-chloro 5-trifluoro methylpyridine 2-1RU)-3-(dichloro fluoro methylthio)-5-fluoro Indore was obtained. 107 to 108 degree C mp [0044] Next, the example of representation of a compound expressed with the general formula (1) concerning this invention is shown in Table 1. 100451

[Formula 11]

[0046] [Table 1]

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Table 1-Â No. XY m R1R2R3R41 NCF3 0 CC12 F HHC12 C-C1 CF3 0 CC12 F H5-C1 C13 NCF3 0 CC12 F H5-CN C14 NCF3 0 CC12 F H5-E15 NCF3 0 CC12 F H5-E0 NCH NCF3 0 CC12 F M6 H C1 7 C-C1 CF3 0 CC12 F H H C1 8 C-C1 C1 0 CC12 F HHC19 C-C1 CF3 1 CC13 HHC110 C-C1 CF3 2 CC13 HHC11 NCF3 0 CC12 F H-C1 C112 N CF3 0 CC12 F H-C1 C1 13 C-C1 CF3 0 CC13 F H C1 [0047]

表1-B(表1-Aの横続き)

No.	mp (℃)
	(屈折率nD25)
1	101-104
2	95-98
3	127-130
4	107-108
5	132-135
6	92 - 94
7	(1.5851)
8	
9	
10	
11	
12	

[0048] Next, the example of representation of a compound expressed with the general formula (2) concerning this invention is shown in Table 2. [0049]

[Formula 12]

[0050] [Table 2]

Table 2 No. X Y R2 R3 R4 mp (degree C)

(Refractive-index nD25)

14 C-Cl CF3 H H Cl(1.5851)

15 NCF3HH Cl 52-53 16 C-Cl CF3 H 5-Cl Cl 96-97 17 N CF3 H 5-MeO Cl (1.5763)

18 N CF3 Me H Cl 115-118 [0051] Next, the example of representation of a compound expressed with the general formula (3) concerning this invention is shown in Table 3. [0052]

[Formula 13]

[0053]

[Table 3]

Table 3 No. m R1R2 R3 mp (degree C) 19 0 CC12 F H 5-F 20 0 CC12 F H 5-CN [0054] Next, an insect-

killing constituent is concretely explained using the example of pharmaceutical preparation. Example of pharmaceutical preparation 1.65 copies of mixed liquor of xylene methylnaphthalene was added to 20 copies of compounds of the emulsion compound number 1, it dissolved in them, subsequently to this an alkylphenol ethyleneoxide condensate and 15 copies of mixtures (8:2) of alkylbenzene-sulfonic-acid calcium were mixed, and it was considered as the emulsion. This agent is diluted with water and used as a pray.

[0055] Example of pharmaceutical preparation 2. 35 copies of kaolin, 30 copies of Clay, and 7.5 copies of diatomaceous earth were mixed to 20 copies of compounds of the water-dispersible powder

compound number 1, 7.5 copies of mixtures (1:1) of RAUEN acid soda and dinaphthyl methansulfonic acid sodium were mixed and pulverized further, and dust was obtained. This agent is diluted with water and used as a spray.

[0056] Example of pharmaceutical preparation 3. After having added 97 copies of mixtures (1:1) of a talc and calcium carbonate to one copy of compound of the dust compound number 8, carrying out mixed grinding and carrying out distributed combination equally enough, preferential grinding of two copies of silicic anhydrides was added and carried out further, and it was considered as dust. This agent

is used sprinkling it as it is.

[0057] It kneads after mixing two copies of compounds of the example of pharmaceutical preparation 4.

granule compound number 8 with 48 copies of bentonite impalpable powder, 48 copies of tales, and two
copies of ligninsulfonic acid sodium until it adds water and becomes equal. Next, granulation was
carried out through the injection molding machine, and it was considered as the granule with a grain size
of 0.6-Imm by letting an oscillator and a dyer screen pass. It is used for them, carrying out granule

application of this agent to a direct paddy field and a soil surface.

[0058] 0.5 copy of piperonyl butoxide was added to 0.1 copy of compound of the example of pharmaceutical preparation 5. oils compound number 1, it dissolved in illuminating kerosine, the whole was made into 100 copies, and oils were obtained. This agent is used as it is. Next, the example of an examination explains concretely the living thing effect of a compound expressed with the general formula (1) concerning this invention.

[0059] The effect over an example of examination 1. cabbage moth (forage dip coating)
The 20% water-dispersible powder or 20% emulsion of this invention compound was manufactured according to the examples 1 and 2 of pharmaceutical preparation, and it was considered as sample

according to the examples 1 and 2 or pharmaceurscan preparation, and it was consisted as sample offering drugs.

Test method: The middle leaf of the cabbage grown to about the active principle of each sample offering drugs might be set to 200 ppm for 20 seconds. It put into the plastics container of 9cm of diameters after air-drying, and the insects scatter of the ten cabbage moth third instar larvae was carried out. The container was covered with the lid which made 5-6 Oana, and it put gently into the 25-degree C cool room. It processed, four days after carrying out the insects scatter, the number of life-and-death insects was investigated, and mortality was computed. The result was shown in Table 4 by the average of 2 \*\*.

[0060] [Table 4]

JP.06-092935.A(1994) [全項目] 表4 供試化合物 死 虫 率 (%) 1 100 2 9.0 3 100 4 100 5 1 0 0 6 100

(%)

100

[0061] Example of examination 2. The 20% water-dispersible powder or 20% emulsion of the effect this invention compound to a rice brown planthopper was manufactured according to the examples 1 and 2 of pharmaceutical preparation, and it was considered as sample offering drugs. Test method: Eight rices of three to 4 leaf stage were made into one share, and it was immersed in the treatment liquid diluted with water so that the active principle of each sample offering drugs might be set to 200 ppm for 20 seconds. It put into the glass cylinder 4.5cm in diameter, and 15cm in height after airdrying, the insects scatter of the ten third instar larvae of a rice brown planthopper was carried out to this, the number of life-and-death insects was investigated six days after treatment, and mortality was computed. The result was shown in Table 5 by the average of 2 \*\*.

## [Table 5]

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表5	
供試化合物	死 虫 率
1	100
2	100
3	5 0
4	100
5	100
ß	100

100

#### [0063]

[Effect of the Invention] Since this invention is constituted as the work example was given and explained above, it does so an effect which is indicated below. N displacement indole derivatives of this invention are effective in the pest control scene in a paddy field, Hataji, an orchard, a forest, or an environmental sanitation scene.

P. 06-69293.A(1999) (空頭目) [Translation done.]